Connecting via Winsock to STN

Welcome to STN International! Enter x:x

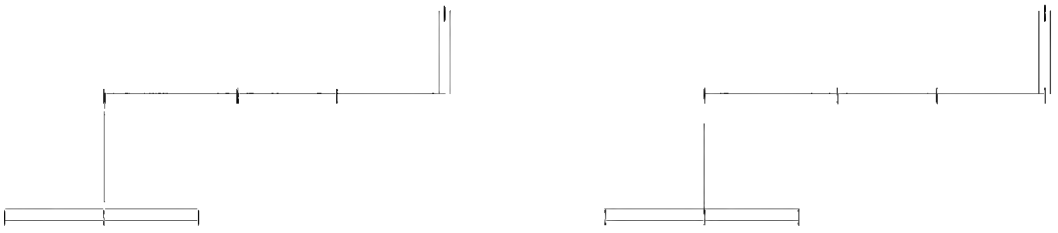
LOGINID:SSPTACDR1614

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

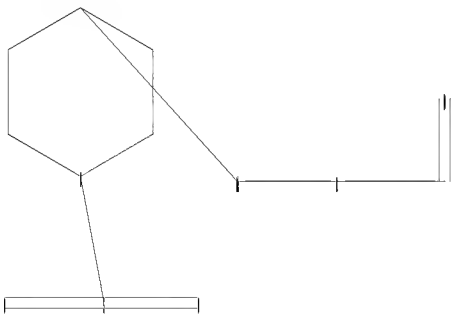
* * * * * * * * * * Welcome to STN International * * * * * * * * * *

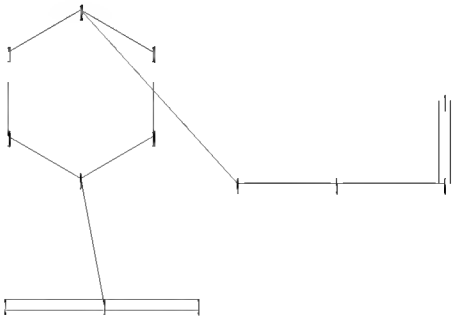
NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 3	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 4	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 5	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 6	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 7	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 8	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 9	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 10	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 11	JUL 28	CA/CAplus patent coverage enhanced
NEWS 12	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 13	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 14	JUL 28	STN Viewer performance improved
NEWS 15	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 16	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 17	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 18	AUG 15	CAplus currency for Korean patents enhanced
NEWS 19	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 20	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 21	SEP 25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 22	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 23	SEP 29	IFICLS enhanced with new super search field
NEWS 24	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS 25	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 26	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS 27	OCT 07	Multiple databases enhanced for more flexible patent number searching

```
 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:08:27 ON 14 OCT 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 15:08:39 ON 14 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   12 OCT 2008  HIGHEST RN 1060442-20-7
DICTIONARY FILE UPDATES:  12 OCT 2008  HIGHEST RN 1060442-20-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\11664190s5.str
```



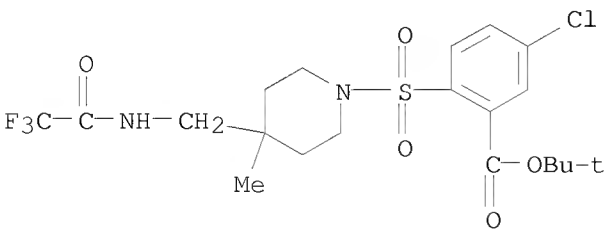
```
chain nodes :
1  2  3  4  5  6  7  8
chain bonds :
1-3  1-2  1-4  4-5  5-6  6-7  7-8
exact/norm bonds :
1-3  1-2  1-4  4-5  5-6  6-7  7-8


Match level :
1:CLASS  2:CLASS  3:CLASS  4:Atom  5:CLASS  6:CLASS  7:CLASS  8:CLASS
Generic attributes :
4:
Saturation              : Saturated

Element Count :
Node 4: Limited
    C,C5
    N,N1

Node 5: Limited
    C,C1-3




L1      STRUCTURE UPLOADED

=> s l1 sss sam
SAMPLE SEARCH INITIATED 15:09:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    79768 TO ITERATE

  2.5% PROCESSED     2000 ITERATIONS                                  5 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      1578550 TO  1612170
PROJECTED ANSWERS:            3141 TO     4835

L2            5 SEA SSS SAM L1

=>
Uploading C:\Program Files\STNEXP\Queries\11664190s6.str
```





```
chain nodes :
1  2  3  4  5  6  7
```

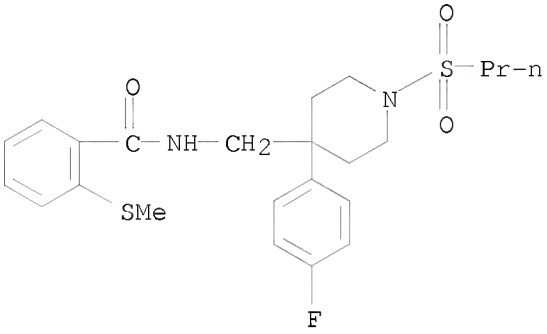
```
ring nodes :
9  10  11  12  13  14
chain bonds :
1-3  1-2  1-9  4-5  4-12  5-6  6-7
ring bonds :
9-10  9-14  10-11  11-12  12-13  13-14
exact/norm bonds :
1-3  1-2  1-9  4-5  4-12  5-6  6-7  9-10  9-14  10-11  11-12  12-13  13-14


Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  9:Atom  10:CLASS
11:Atom  12:Atom  13:Atom  14:Atom
Element Count :
Node 4: Limited
    C,C1-3




L3      STRUCTURE UPLOADED

=> s l3 sss sam
SAMPLE SEARCH INITIATED 15:10:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    30692 TO ITERATE

  6.5% PROCESSED     2000 ITERATIONS                                 8 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       603361 TO   624319
PROJECTED ANSWERS:            1791 TO     3119

L4            8 SEA SSS SAM L3

=> d scan

L4   8 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   Benzoic acid, 5-chloro-2-[[4-methyl-4-[[(2,2,2-
     trifluoroacetyl)amino]methyl]-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl
     ester
MF   C20 H26 Cl F3 N2 O5 S
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
```

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

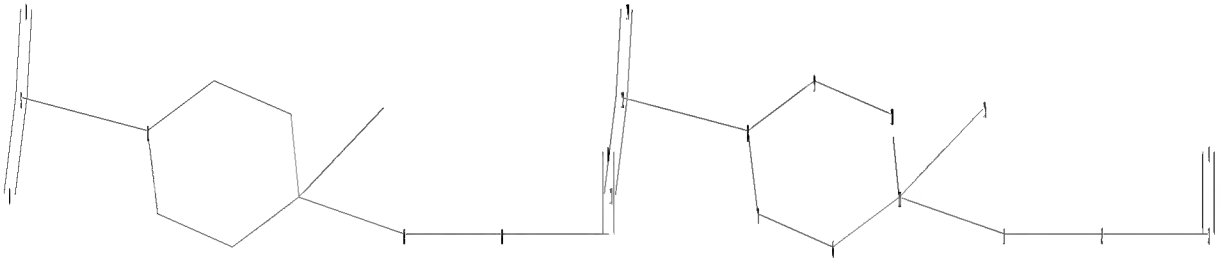
L4 8 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-
    2-(methylthio)-
MF C23 H29 F N2 O3 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\STNEXP\Queries\11664190s7.str



chain nodes :
1 2 3 4 12 13 14 15
ring nodes :
6 7 8 9 10 11
chain bonds :
1-2 1-11 2-3 3-4 8-12 11-15 12-13 12-14
ring bonds :
6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-11 2-3 3-4 6-7 6-11 7-8 8-9 8-12 9-10 10-11 12-13 12-14
exact bonds :
11-15

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS
Element Count :
Node 1: Limited

C,C1-3

L5 STRUCTURE UPLOADED

=> s l5 sss sam
SAMPLE SEARCH INITIATED 15:14:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8688 TO ITERATE

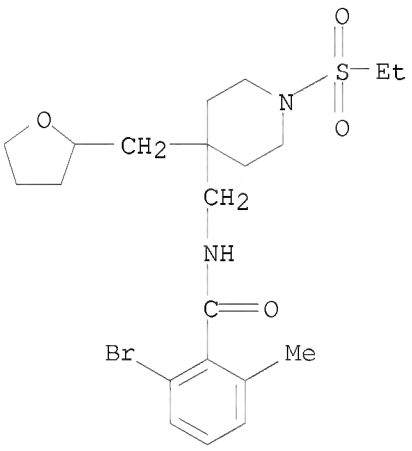
23.0% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 168173 TO 179347
PROJECTED ANSWERS: 2 TO 349

L6 2 SEA SSS SAM L5

=> d scan

L6 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzamide, 2-bromo-N-[[1-(ethylsulfonyl)-4-[(tetrahydro-2-furanyl)methyl]-4-piperidinyl]methyl]-6-methyl-
MF C21 H31 Br N2 O4 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l5 sss full
FULL SEARCH INITIATED 15:15:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 172621 TO ITERATE

100.0% PROCESSED 172621 ITERATIONS 114 ANSWERS
SEARCH TIME: 00.00.03

L7 114 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 182.96 183.17

FILE 'CAPLUS' ENTERED AT 15:15:12 ON 14 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 Oct 2008 VOL 149 ISS 16
FILE LAST UPDATED: 12 Oct 2008 (20081012/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l7
L8 11 L7

=> d ibib abs hitstr 11

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1956:12337 CAPLUS
DOCUMENT NUMBER: 50:12337
ORIGINAL REFERENCE NO.: 50:2581g-i,2582a-i
TITLE: Spiro-1'-benzenesulfonylpiperidine-4', 5-barbituric acid and related derivatives of isonipecotic acid
AUTHOR(S): Skinner, Glenn S.; Krysiak, Henry R.; Perregrino, Joseph A.
CORPORATE SOURCE: Univ. of Delaware, Newark
SOURCE: Journal of the American Chemical Society (1955), 77, 2248-50
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB A derivative of spiropiperidine-4',5-barbituric acid has been synthesized. The piperidine ring has the same effect as the cyclopentane ring in increasing both the ease of formation of the barbituric acid and the cleavage of the barbituric acid ring by aqueous alkali. Some isonipecotic acid derivs. have been prepared and subjected to pharmacol. examination Dry pyridine (630 g.) and 70 g. (HOCH2CH2)2NH treated dropwise with stirring

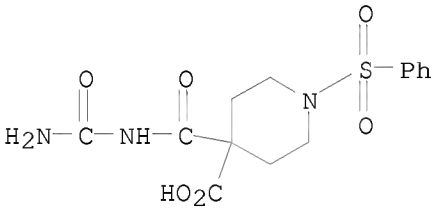
during 2 hrs. at 0-5° with 388.5 g. $PhSO_2Cl$, the mixture allowed to stand overnight, shaking with 500 g. finely crushed ice and 500 cc. HCl (d. 1.19), and filtered by suction, the filter residue washed with H_2O and dried, and the dry crude product crystallized twice from $CHCl_3$ yielded $(PhSO_3CH_2CH_2)_2NSO_2Ph$ (I), fine white monoclinic crystals, m. 128-9°. $CH_2(CO_2Et)_2$ (128 g.) treated with 9.2 g. Na sand in 900 cc. dry C_6H_6, the mixture treated with 105 g. I, refluxed 20 hrs. on the steam bath, cooled, and neutralized with 2 cc. HCl (d. 1.19), the supernatant liquid decanted, the residue treated with 200 cc. cold H_2O and extracted twice with C_6H_6, the C_6H_6 and $CH_2(CO_2Et)_2$ removed in vacuo at 1 mm., the residue dissolved in 100 cc. hot EtOH, the solution cooled in ice-salt, the crystalline deposit filtered by suction and washed with 50% EtOH, and the product (125 g.) recrystd. from EtOH gave 120 g. pure di-Et 1-benzenesulfonylpiperidine-4,4-dicarboxylate (II), white crystals, m. 70°. II (18.4 g.) and 6.0 g. urea added with stirring at 40° to NaOEt from 3.44 g. Na and 60 cc. absolute EtOH, the mixture stirred 1 hr., kept 4 hrs. at 40°, allowed to stand overnight, and filtered, and the residue washed with EtOH and dried in vacuo over $CaCl_2$ gave 18.6 g. Na salt (III) of spiro-1'-benzenesulfonylpiperidine-4',5-barbituric acid (IV). The III added rapidly with stirring to ice and HCl, the precipitate filtered with suction, washed with cold, very dilute HCl, and dried in vacuo over KOH, and the product (15.7 g.) recrystd. from glacial AcOH gave IV, m. 278-80° (decomposition). IV (3.37 g.) in a solution of 0.50 g. NaOH in 25 cc. H_2O kept 2 hrs. at room temperature, and an aliquot acidified gave material, m. 125-30° (decomposition), solidified and remelted at 195-200°; after 9 days the acid product sintered at 127-30° and melted at 203-6°; the remainder of the mixture acidified after 10 days, and the precipitate recrystd. twice from EtOH gave the ureide (V) of isonipecotic acid (VI), clusters of needles, m. 205.5-206°, insol. in cold dilute aqueous NaOH. IV did not dissolve or change in appearance when boiled with 6N HCl. IV (1 g.) in 7.5 cc. 10% aqueous NaOH allowed to stand 1 hr., the solution treated 15 min. with gaseous CO_2, filtered, and acidified to pH 3-4, and the oily precipitate allowed to stand overnight yielded 0.85 g. 1-benzenesulfonyl-4-carboxyisonipecotoylurea, colorless plates, decomposed at 128° (from Et_2O); it gave heated to 140° V. II (4 g.) refluxed 3 hrs. with 60 cc. 25% aqueous NaOH, the mixture acidified with cooling, and the precipitate recrystd. from H_2O and EtOH gave 1-benzenesulfonylpiperidine-4,4-dicarboxylic acid (VII), crystals, decomposed at 124°. VII treated with $SOCl_2$ and then with NH_4OH gave the diamide of VII, m. 223-4° (decomposition). V (0.3 g.) refluxed 2 hrs. with 15 cc. 20% aqueous NaOH and 2 cc. EtOH, the mixture acidified at 0°, and the fine precipitate washed with ice cold H_2O yielded 87% 1-benzenesulfonylisonipecotic acid (VIII), m. 159-60°. VII heated at 170° until the CO_2 evolution ceased, and the residue recrystd. from EtOH gave VIII, m. 160°. VIII (1 g.) refluxed 0.5 hr. at 60-5° with 1.3 g. $SOCl_2$, the excess $SOCl_2$ distilled off, the residue treated gradually with 1.4 g. NH_4OH (0.90), and the white crystalline crude product recrystd. twice from 35 cc. EtOH washing each time with 50% EtOH yielded 0.92 g. amide of VIII, m. 206-6.5°. The acid chloride from 1.0 g. VIII treated with cooling with 1.0 g. MeOH, the mixture warmed with stirring to 70°, the solid dissolved with 2 cc. MeOH and filtered, the filtrate diluted gradually with ice cold H_2O, the white granular precipitate filtered and washed several times with H_2O, and the crude product recrystd. from the min. amount MeOH gave 95% Me ester (IX) of VIII, m. 85°. The Et ester (X) of VIII, m. 82.5°, was prepared similarly in 85.5% yield. IX (15.18 g.) in 125 cc. MeOH added rapidly dropwise to 8 g. 95% N_2H_4 in 10 cc. refluxing MeOH, the solution refluxed 2 hrs., concentrated to 1/2 volume, allowed to stand, and filtered with suction, and the filter residue (14.0 g.) washed sparingly with MeOH and recrystd. from

100 cc. EtOH yielded 92% hydrazide of VIII, m. 134.5°; the yield obtained similarly from X was only 50%. The acid chloride from 3 g. VIII and 8.5 g. powdered urea heated 1 hr. gradually to 130°, the mixture cooled, the resulting cake treated with 50 cc. hot EtOH, the mixture cooled and filtered, the filter residue (3.0 g.) dissolved in 65 cc. hot EtOH and 17 cc. H2O and filtered, and the hot filtrate cooled in ice-salt deposited V, colorless fine needles. The amide of VIII gave 56% inhibition at 0.2 mg./cc. in the in vitro tuberculosis test, no hypnosis at 400-900 mg./kg. in rats, and 20% protection by the electro shock and no protection by the Metrazol method at 400 mg./kg. per os. The hydrazide at 0.2 mg./cc. gave 68% inhibition in the tuberculosis test. In mice it was ineffective against influenza virus, MM virus, Streptococcus pyogenes, typhoid, Klebsiella pneumoniae, and Pseudomonas aeruginosa. V at 0.2 mg./cc. gave no inhibition in the tuberculosis test, no hypnosis at 400-900 mg./kg. by mouth in rats, and 20% protection by the electro shock but no protection by the Metrazol method at 400 mg./kg. orally. IV by vein in rats produced convulsions, LD50 210 mg./kg.

IT 855636-16-7P, Isonipecotic acid, 4-allophanoyl-1-(phenylsulfonyl)-
RL: PREP (Preparation)
(preparation of)

RN 855636-16-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[(aminocarbonyl)amino]carbonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



=> d ibib abs hitstr 10

L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:713343 CAPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315
WO 2001070734	A3	20020314		

W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

CA 2400168	A1	20010927	CA 2001-2400168		20010315
AU 2001050850	A	20011003	AU 2001-50850		20010315
EP 1263756	A2	20021211	EP 2001-924171		20010315
EP 1263756	B1	20040225			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR					
BR 2001009469	A	20030429	BR 2001-9469		20010315
JP 2003528097	T	20030924	JP 2001-568935		20010315
AT 260272	T	20040315	AT 2001-924171		20010315
NZ 521245	A	20040430	NZ 2001-521245		20010315
ES 2215893	T3	20041016	ES 2001-924171		20010315
US 20020013341	A1	20020131	US 2001-811116		20010316
US 6495565	B2	20021217			
IN 2002MN01075	A	20050304	IN 2002-MN1075		20020808
HK 1049334	A1	20040716	HK 2003-101437		20030226
PRIORITY APPLN. INFO.:			US 2000-190183P	P	20000317
			US 2000-235467P	P	20000926
			US 2000-252062P	P	20001120
			WO 2001-US8336	W	20010315

OTHER SOURCE(S): MARPAT 135:272894

AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362697-46-9P

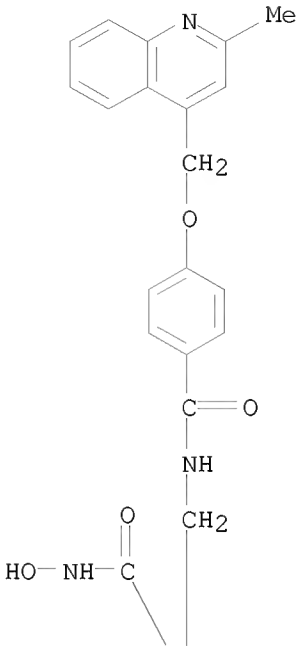
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

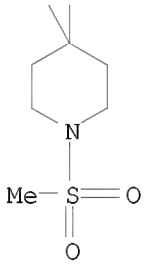
RN 362697-46-9 CAPLUS

CN 4-Piperidinecarboxamide, N-hydroxy-4-[[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]methyl]-1-(methylsulfonyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

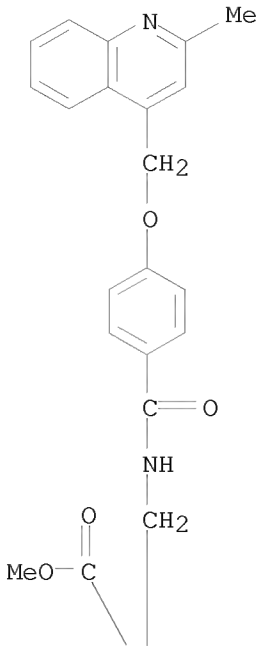


IT 362703-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

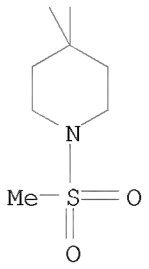
RN 362703-42-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]methyl]-1-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



=> d ibib abs hitstr 9

L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:396851 CAPLUS
DOCUMENT NUMBER: 138:401607
TITLE: Preparation of piperidino cannabinoid receptor ligands
INVENTOR(S): Friary, Richard J.; Kozlowski, Joseph A.; Shankar, Bandarpalle B.; Wong, Michael K. C.; Zhou, Guowel; Lavey, Brian J.; Shih, Neng-Yang; Tong, Ling; Chen, Lei; Shu, Youheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

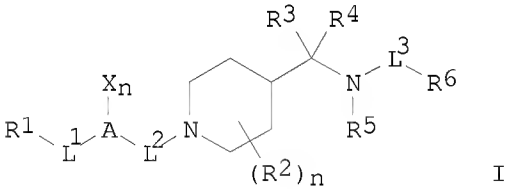
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042174	A1	20030522	WO 2002-US36185	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466440	A1	20030522	CA 2002-2466440	20021112
AU 2002346366	A1	20030526	AU 2002-346366	20021112
US 20040010013	A1	20040115	US 2002-292778	20021112
US 7071213	B2	20060704		
EP 1444203	A1	20040811	EP 2002-784433	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014164	A	20040928	BR 2002-14164	20021112
HU 2004001924	A2	20050128	HU 2004-1924	20021112
CN 1585749	A	20050223	CN 2002-822675	20021112
JP 2005509032	T	20050407	JP 2003-544011	20021112
NZ 532291	A	20051125	NZ 2002-532291	20021112
ZA 2004003685	A	20050523	ZA 2004-3685	20040513
IN 2004CN01055	A	20060203	IN 2004-CN1055	20040513
MX 2004PA04674	A	20040812	MX 2004-PA4674	20040514
NO 2004002435	A	20040611	NO 2004-2435	20040611
US 20050282861	A1	20051222	US 2005-197979	20050805

PRIORITY APPLN. INFO.:

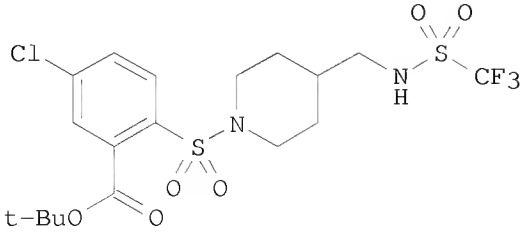
US 2001-332911P	P	20011114
CH 2001-2103	A	20011114
US 2002-292778	A3	20021112
WO 2002-US36185	W	20021112

OTHER SOURCE(S): MARPAT 138:401607

GI



I



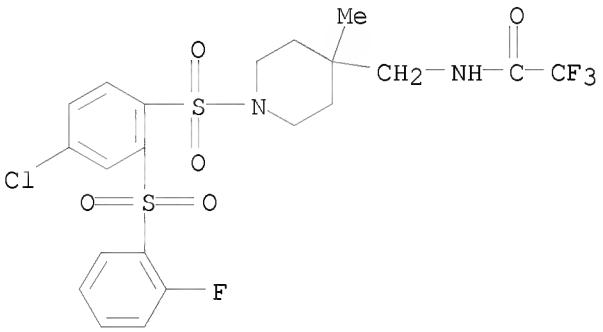
II

AB Title compds. I [L1 = bond, CH2, CO, CO2, SO2, etc.; L2 = CH2, CH(alkyl), C(alkyl)2, etc.; L3 = bond, CO, SO2; R1 = H, halo, alkyl, haloalkyl, cycloalkyl, etc.; R2 = H, OH, halo, CF3, alkoxy, etc.; R3-4 = H, alkyl, taken together form a carbonyl group; R5 = H, alkyl; R6 = H, alkyl,

haloalkyl, cycloalkyl, amino, etc.; n = 0-3] are prepared For instance, 4-(trifluoroacetamidomethyl)piperidine•TFA salt is reacted with p-chlorobenzenesulfonyl chloride (CH2Cl2, Et3N), the resulting sulfonamide functionalized ortho to the sulfonyl group (THF, n-BuLi, Boc2O), the trifluoroacetyl group removed (MeOH, K2CO3) and the amine refunctionalized with trifluoromethanesulfonic anhydride to give II. Compds. of the invention are found to exhibit cannabinoid CB2 receptor binding activity in the range of 0.1 to 1000 nM and possess anti-inflammatory and immunomodulatory activity.

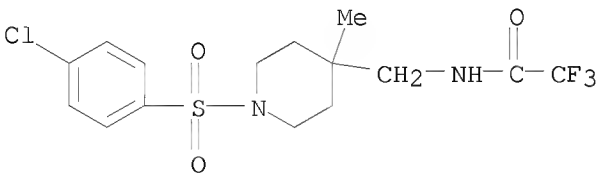
IT 530115-22-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidino cannabinoid receptor ligands for treatment of inflammatory disorders)

RN 530115-22-1 CAPLUS
CN Acetamide, N-[[1-[[4-chloro-2-[(2-fluorophenyl)sulfonyl]phenyl]sulfonyl]-4-methyl-4-piperidinyl]methyl]-2,2,2-trifluoro- (CA INDEX NAME)

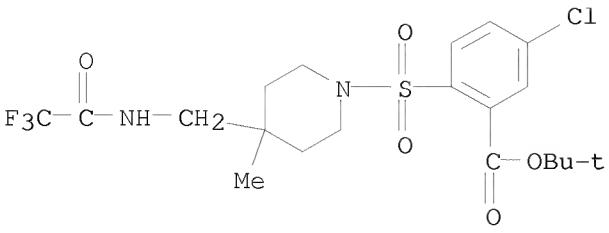


IT 530115-99-2P 530116-00-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted piperidino cannabinoid receptor ligands for treatment of inflammatory disorders)

RN 530115-99-2 CAPLUS
CN Acetamide, N-[[1-[(4-chlorophenyl)sulfonyl]-4-methyl-4-piperidinyl]methyl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 530116-00-8 CAPLUS
CN Benzoic acid, 5-chloro-2-[[4-methyl-4-[[(2,2,2-trifluoroacetyl)amino]methyl]-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

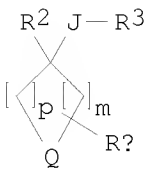
=> d ibib abs hitstr 8

L8 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:855758 CAPLUS
DOCUMENT NUMBER: 139:364829
TITLE: Preparation of heterocyclo inhibitors of potassium channel function
INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
SOURCE: PCT Int. Appl., 330 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

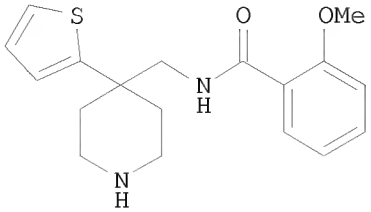
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003223651	A1	20031103	AU 2003-223651	20030416
EP 1501467	A2	20050202	EP 2003-719792	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529114	T	20050929	JP 2003-585661	20030416
NO 2004004351	A	20041013	NO 2004-4351	20041013

PRIORITY APPLN. INFO.: US 2002-374279P P 20020419
WO 2003-US11807 W 20030416

OTHER SOURCE(S): MARPAT 139:364829
GI



I

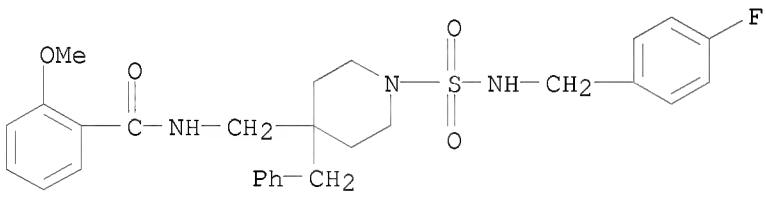


II

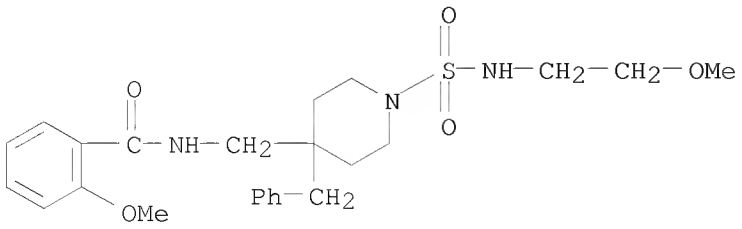
AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

IT 619291-04-2P 619291-05-3P 619291-06-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidines as inhibitors of potassium channel function)

RN 619291-04-2 CAPLUS
CN Benzamide, N-[[1-[[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-(phenylmethyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

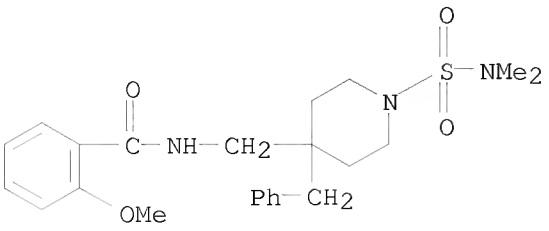


RN 619291-05-3 CAPLUS
CN Benzamide, 2-methoxy-N-[[1-[[(2-methoxyethyl)amino]sulfonyl]-4-(phenylmethyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619291-06-4 CAPLUS
CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-(phenylmethyl)-4-

piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



=> d ibib abs hitstr 7

L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:310829 CAPLUS
DOCUMENT NUMBER: 140:303552
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P.; Voss, Mathew E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040072802	A1	20040415	US 2002-267207	20021009

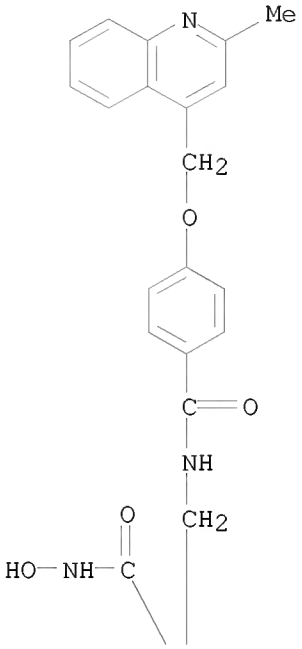
PRIORITY APPLN. INFO.: US 2002-267207 20021009
OTHER SOURCE(S): MARPAT 140:303552

AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

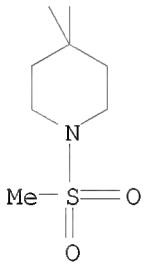
IT 362697-46-9P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

RN 362697-46-9 CAPLUS
CN 4-Piperidinecarboxamide, N-hydroxy-4-[[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]methyl]-1-(methylsulfonyl)- (CA INDEX NAME)

PAGE 1-A



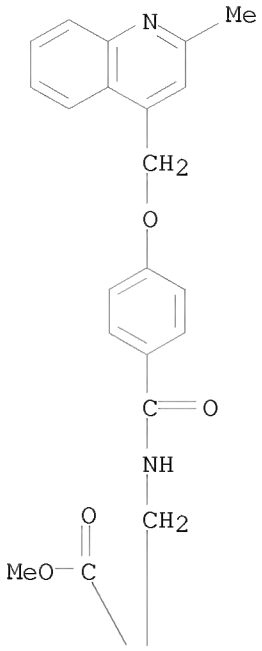
PAGE 2-A



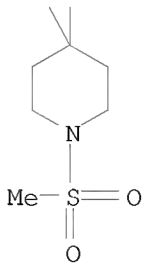
IT 362703-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

RN 362703-42-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 4-[[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]methyl]-1-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



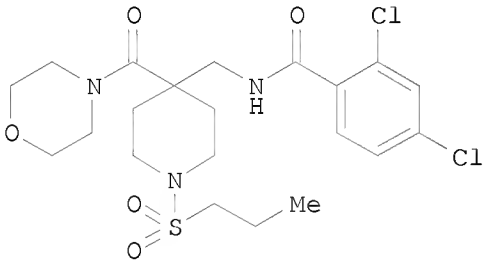
=> d ibib abs hitstr 6

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1220538 CAPLUS
DOCUMENT NUMBER: 143:472603
TITLE: Morpholinyl piperidine derivative glycine transporter GlyT1 inhibitors, their preparation/., and their use for treatment of neurological and psychiatric disorders
INVENTOR(S): Lindsley, Craig W.; Wolkenberg, Scott E.; Zhao, Zhijian
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005107469	A2	20051117	WO 2005-US15134		20050429
WO 2005107469	A3	20060629			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20070249606	A1	20071025	US 2006-579234		20061030
PRIORITY APPLN. INFO.:			US 2004-568201P	P	20040505
			WO 2005-US15134	W	20050429

OTHER SOURCE(S): MARPAT 143:472603

GI



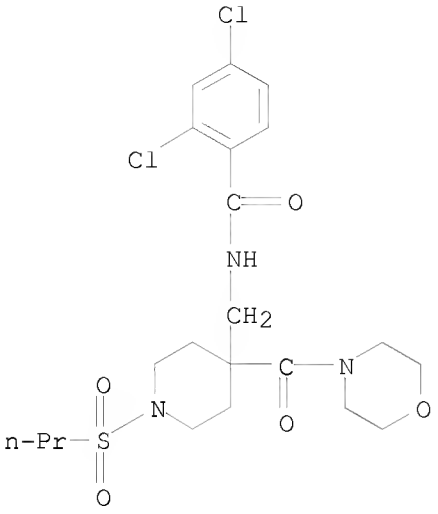
I

AB The invention discloses morpholinyl piperidine compds. that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. Preparation of I is described.

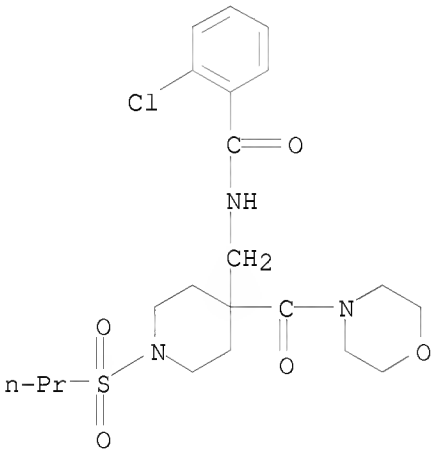
IT 869463-15-0P 869463-16-1P 869463-17-2P
869463-18-3P 869463-19-4P 869463-20-7P
869463-21-8P 869463-22-9P 869463-23-0P
869463-24-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(morpholinyl piperidine derivative glycine transporter GlyT1 inhibitor preparation and use for treatment of neurol. and psychiatric disorders)

RN 869463-15-0 CAPLUS

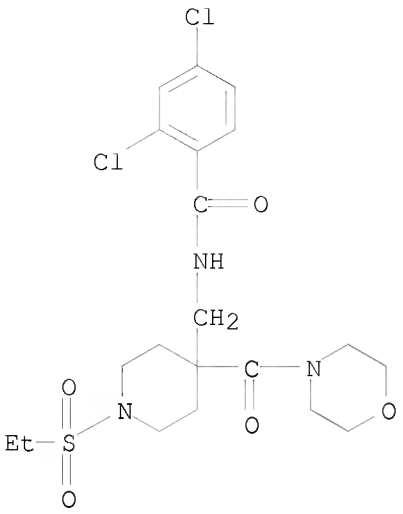
CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



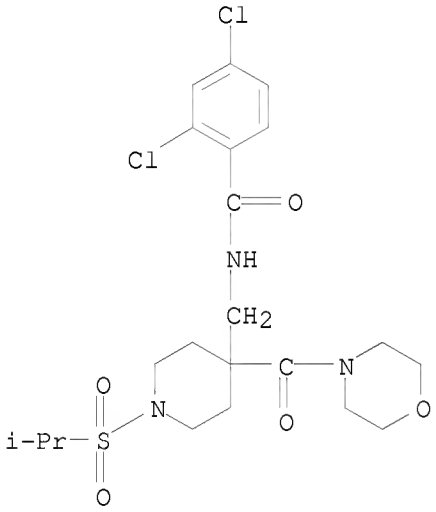
RN 869463-16-1 CAPLUS
CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



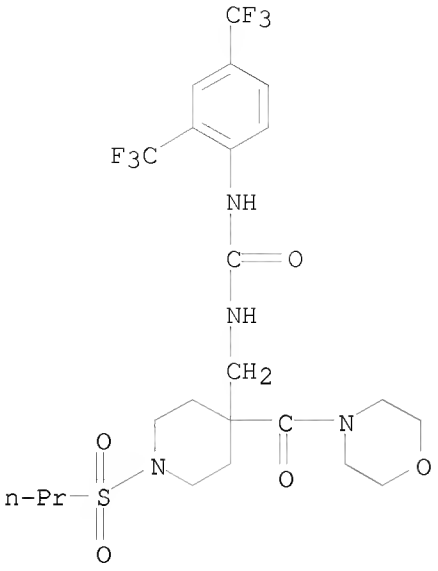
RN 869463-17-2 CAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



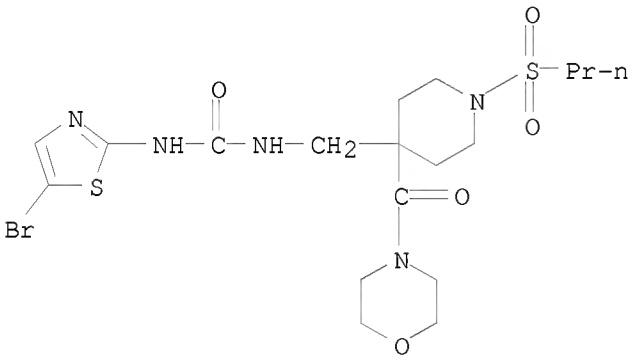
RN 869463-18-3 CAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-[(1-methylethyl)sulfonyl]-4-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



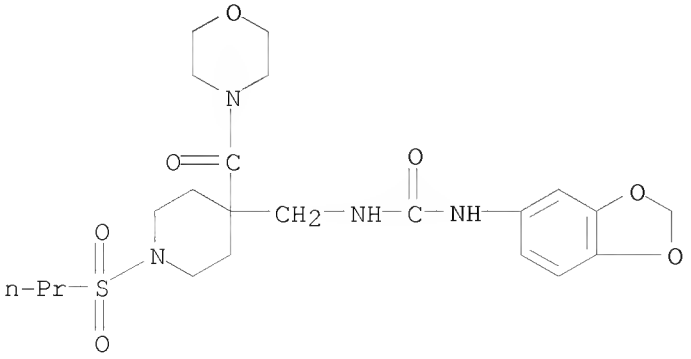
RN 869463-19-4 CAPLUS
CN Urea, N-[2,4-bis(trifluoromethyl)phenyl]-N'-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



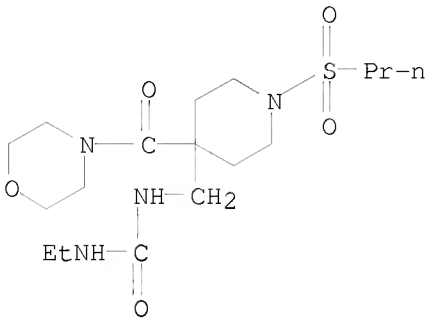
RN 869463-20-7 CAPLUS
CN Urea, N-(5-bromo-2-thiazolyl)-N'-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



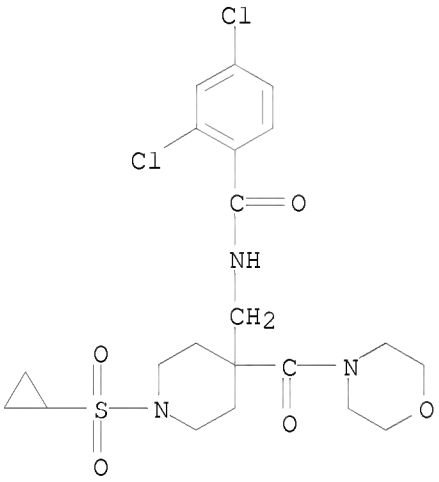
RN 869463-21-8 CAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



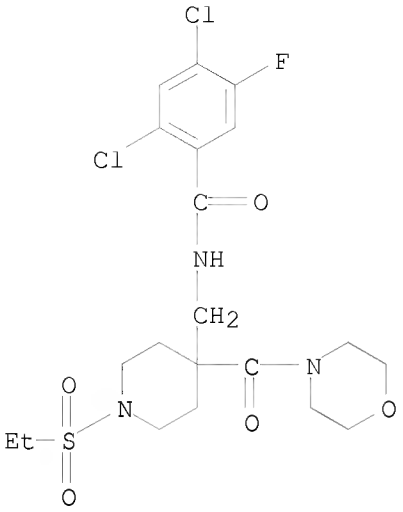
RN 869463-22-9 CAPLUS
CN Urea, N-ethyl-N'-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 869463-23-0 CAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(cyclopropylsulfonyl)-4-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 869463-24-1 CAPLUS
CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]-5-fluoro- (CA INDEX NAME)



=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	36.54	219.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.80	-4.80

STN INTERNATIONAL LOGOFF AT 15:19:57 ON 14 OCT 2008